=> d abs fbib fhitstr 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AB Aqueous gel formulations, including an immune response modifier (IRM), such as those chosen from imidazoquinoline amines, tetrahydroimidazoquinoline amines, imidazopyridine amines, 6,7-fused cycloalkylimidazopyridine amines, 1,2 -bridged imidazoquinoline amines, imidazonaphthyridine amines, imidazotetrahydronaphthyridine amines, oxazoloquinoline amines, thiazoloquinoline amines, oxazolopyridine amines, thiazolopyridine amines, oxazolonaphthyridine amines, thiazolonaphthyridine amines, pyrazolopyridine amines, pyrazoloquinoline amines, tetrahydropyrazoloquinoline amines, pyrazolonaphthyridine amines, tetrahydropyrazolonaphthyridine amines, and 1 H-imidazo dimers fused to pyridine amines, quinoline amines, tetrahydroquinoline amines, naphthyridine amines, or tetrahydronaphthyridine amines, are provided. Methods of use and kits are also provided. For example, gel was prepared containing 4-(4-amino-2-propyl-1H-imidazo[4,5-c]quinolin-1-yl)-Npropylbutyramide 0.1%, 0.25N ethanesulfonic acid 0.594%, Carbomer 974P 2.1%, propylene glycol 15%, methylparaben 0.15%, propylparaben 0.03%, edetate disodium 0.05%, 20% tromethamine solution 1.5% and purified water 80.48%.

AN 2006:795800 CAPLUS

DN 145:235790

TI Aqueous gel formulations containing immune response modifiers

IN Ma, David Q.; Perman, Christopher S.; Skwierczynski, Raymond D.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 123pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO. |   |                  | KIN | D    | DATE     |                                   |              | APPI         | LICAT                             | ION I                    | NO.      | DATE    |            |      |            |      |     |
|----|------------|---|------------------|-----|------|----------|-----------------------------------|--------------|--------------|-----------------------------------|--------------------------|----------|---------|------------|------|------------|------|-----|
| PI |            | 2006<br>2006                                  |                  |     |      | A2<br>A3 |                                   | 2006<br>2007 | 0810<br>0405 |                                   | WO 2                     | 2006-    | US42    | 01         |      | 2          | 0060 | 203 |
|    |            | W:  | ΑE,              | AG, | AL,  | AM,      | ΑT,                               | ΑU,          | AZ,          | BA,                               | BB,                      | BG,      | BR,     | BW,        | BY,  | BZ,        | CA,  | CH, |
|    |            |   |                  |     |      |          |                                   |              |              |                                   |                          | EC,      |         |            |      |            |      | •   |
|    |            |   | GE,              | GH, | GM,  | HR,      | HU,                               | ID,          | IL,          | IN,                               | IS,                      | JP,      | KΕ,     | KG,        | KM,  | KN,        | KΡ,  | KR, |
|    |            |   |                  |     |      |          |                                   |              |              |                                   |                          | MA,      |         |            |      |            |      |     |
|    |            |   | ,                |     |      |          |                                   |              |              |                                   |                          | PL,      |         |            |      |            |      |     |
|    |            |   | ,                | ,   | ,    | ,        | ,                                 | ТJ,          | TM,          | TN,                               | TR,                      | , TT, T2 | TZ,     | UA,        | UG,  | US,        | UΖ,  | VC, |
|    |            | VN, YU, ZA,<br>RW: AT, BE, BG,<br>IS, IT, LT, |                  | •   | •    |          |                                   |              |              |                                   |                          |          |         |            |      |            |      |     |
|    |            |   |                  |     | •    |          |                                   |              |              |                                   | •                        |          | •       | •          |      | •          |      |     |
|    |            |   |                  | •   |      |          |                                   | •            |              | •                                 | •                        |          |         | •          | •    |            | •    |     |
|    |            |   | •                | •   | •    | •        | •                                 | •            |              |                                   | •                        | MR,      | •       | •          | •    | •          | •    | •   |
|    |            |   |                  |     | •    |          |                                   |              |              | •                                 |                          | TZ,      | UG,     | ZM,        | ZW,  | AM,        | AZ,  | BY, |
|    |            |   | KG,              | KΖ, | MD,  | RU,      | TJ,                               | TM,          | AP,          |                                   |                          |          | 6500    | 005        |      | <b>-</b> 0 | 0050 | 004 |
|    |            | 0000  |                  |     |      |          |                                   |              |              | US 2005-650030P                   |                          |          |         |            | 0050 | -          |      |     |
|    | ΑU         | 2006  | 2103             | 92  |      | A1       |                                   | 2006         | 0810         |                                   | -                        |          | -210392 |            |      |            | 0060 |     |
|    |            |   |                  |     |      |          |                                   |              |              | US 2005-650030P<br>WO 2006-US4201 |                          |          |         | P 20050204 |      |            |      |     |
|    | ~ 7        | 07.0503000                                    |                  |     | 70.7 |          | 2006                              | 0010         |              |                                   |                          |          |         |            |      |            |      |     |
|    | CA 2597092 |   | A1 20            |     | 2006 | 0810     |                                   |              |              |                                   | 0060                     |          |         |            |      |            |      |     |
|    |            |   |                  |     |      |          | US 2005-650030P<br>WO 2006-US4201 |              |              |                                   | P 20050204<br>W 20060203 |          |         |            |      |            |      |     |
|    |            | 1011  | 001              |     |      | 7.0      |                                   | 0007         | 1017         |                                   |                          |          |         |            |      |            |      |     |
|    | EΡ         | 1844  | $\angle U \perp$ |     |      | A2       |                                   | 2007         | TOT.         |                                   | EP 2                     | 2006-    | /204    | UÜ         |      | 2          | 0060 | ZU3 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

|    |             |    |          | TTC | 2005-650030P | P                | 20050204 |
|----|-------------|----|----------|-----|--------------|------------------|----------|
|    |             |    |          | UD  | 2003-030030F | _                | 20030204 |
|    |             |    |          | WO  | 2006-US4201  | W                | 20060203 |
| JΡ | 2008530022  | T  | 20080807 | JΡ  | 2007-554306  |                  | 20060203 |
|    |             |    |          | US  | 2005-650030P | Р                | 20050204 |
|    |             |    |          | WO  | 2006-US4201  | W                | 20060203 |
| US | 20090163532 | A1 | 20090625 | US  | 2008-883665  |                  | 20080819 |
|    |             |    |          | US  | 2005-650030P | Р                | 20050204 |
|    |             |    |          | M   | 2006-US4201  | T <sub>A</sub> J | 20060203 |

IT 866649-05-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aqueous gel formulations containing immune response modifiers)

RN 866649-05-0 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline-1-butanamide, 4-amino-N,2-dipropyl- (CA INDEX NAME)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN GI

$$NH2$$
 $NH2$ 
 $NH3$ 
 $NH4$ 
 $NH4$ 
 $NH5$ 
 $NH5$ 
 $NH6$ 
 $NH6$ 
 $NH7$ 
 $NH7$ 
 $NH9$ 
 $NH9$ 

AB Title compds. I [R1 = amide linked via alkyl, alkylene, or alkylalkylene;

R2 = H or a non-interfering substituent; R3 and R4 independently = H, halo, alkyl, alkoxy, etc.], pharmaceutical compns. containing the compds., intermediates, and methods of making and methods of use of these compds. as immunomodulators, for modulating cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases are disclosed. Thus, e.g., II was prepared by amidation of Et 4-(2-propyl-1H-imidazo[4,5-c]quinolin-1-yl) butanoate (preparation given) with morpholine and subsequent oxidation/amination. Methods are described for assaying cytokine induction (no data).

- AN 2005:1103493 CAPLUS
- DN 143:387036
- TI Preparation of amide-substituted imidazopyridines, imidazoquinolines, and imidazonaphthyridines
- IN Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Amos, David T.; Zimmermann, Bernhard M.; Moser, William H.
- PA 3M Innovative Properties Company, USA
- SO PCT Int. Appl., 234 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

| FAN. |    | PATENT NO.<br><br>WO 2005094531 |  |  |   | KIN   |   | DATE   |  |   | APPL                                   | ICAT                                   | ION 1                                  | NO.                                    |  | D.                                     | ATE                                    |  |    |
|------|----|---------------------------------|--|--|---|---|---|--|--|---|--|--|--|--|--|--|--|--|----|
| ΡΙ   |    | 2005                            |  |  |   | A2<br>A3                                      |   | 2005<br>2006   |  |   | WO 2                                   | 005-                                   | US98                                   | 80                                     |  | 2                                      | 0050                                   | 324                                    |    |
|      |    | W:<br>RW:                       | CN,<br>GE,<br>LK,<br>NO,<br>SY,<br>BW, | CO,<br>GH,<br>LR,<br>NZ,<br>TJ,<br>GH, | AL,<br>CR,<br>GM,<br>LS,<br>OM,<br>TM,<br>GM, | AM,<br>CU,<br>HR,<br>LT,<br>PG,<br>TN,<br>KE, | CZ,<br>HU,<br>LU,<br>PH,<br>TR,<br>LS,                                | AU,<br>DE,<br>ID,<br>LV,<br>PL,<br>TT,<br>MW,<br>RU, | DK,<br>IL,<br>MA,<br>PT,<br>TZ,<br>MZ, | DM,<br>IN,<br>MD,<br>RO,<br>UA,<br>NA,    | DZ,<br>IS,<br>MG,<br>RU,<br>UG,<br>SD, | EC,<br>JP,<br>MK,<br>SC,<br>US,<br>SL, | EE,<br>KE,<br>MN,<br>SD,<br>UZ,<br>SZ, | EG,<br>KG,<br>MW,<br>SE,<br>VC,<br>TZ, | ES,<br>KP,<br>MX,<br>SG,<br>VN,<br>UG, | FI,<br>KR,<br>MZ,<br>SK,<br>YU,<br>ZM, | GB,<br>KZ,<br>NA,<br>SL,<br>ZA,<br>ZW, | GD,<br>LC,<br>NI,<br>SM,<br>ZM,<br>AM, | ZW |
|      |    |                                 | EE,<br>RO,                             | ES,<br>SE,                             | FI,<br>SI,                                    | FR,   | GB,<br>TR,  | GR,<br>BF,   | HU,                                    | IE,<br>CF,                                | IS,                                    | IT,<br>CI,                             | LT,<br>CM,                             | LU,<br>GA,                             | MC,<br>GN,                             | NL,                                    | PL,<br>GW,                             | PT,<br>ML,                             |    |
|      | AU | 2005                            | 2281                                   | 50                                     |   | A1  |   | 2005   | 1013                                   |   | US 20<br>AU 20<br>US 20<br>US 20       | 004-<br>005-<br>004-<br>004-           | 5787<br>2281<br>5557<br>5787           | 69P<br>50<br>53P<br>69P                |  | P 2<br>2<br>P 2<br>P 2                 | 0040<br>0050<br>0040<br>0040           | 610<br>324<br>324<br>610               |    |
|      | CA | 2559863                         |  |  | A1  |   | 2005  | 1013   |  | WO 20<br>CA 20<br>US 20<br>US 20<br>WO 20 | 005-<br>004-<br>004-                   | 2559<br>5557<br>5787                   | 863<br>53P<br>69P                      |  | P 2                                    | 0050<br>0040<br>0040                   | 324<br>324<br>610                      |  |    |
|      | EP | 1730<br>R:                      | AT,                                    | BE,                                    | BG,   |   | CY,   | 2006<br>CZ,<br>MC,                                   | DE,                                    | DK,<br>PL,                                | EP 2<br>EE,<br>PT,<br>US 2<br>US 2     | 005-<br>ES,<br>RO,<br>004-             | 7313<br>FI,<br>SE,<br>5557             | 09<br>FR,<br>SI,<br>53P<br>69P         | GB,<br>SK,                             | 2<br>GR,<br>TR<br>P 2<br>P 2           | 0050<br>HU,<br>0040                    | 324<br>IE,<br>324<br>610               |    |
|      |    | JP 2007530579                   |  |  | 20071101                                      |   | WO 2005-US9880<br>JP 2007-505186<br>US 2004-578769P<br>WO 2005-US9880 |  |  | 86<br>69P<br>80                           |  | 2<br>P 2<br>W 2                        | 0050.<br>0040.<br>0050.                | 324<br>610<br>324                      |  |  |  |  |    |
|      | US | S 20070219196                   |  |  | A1  | 20070920                                      |   |  | 0 US 2006-599159                       |   |  | 59                                     | 20060921                               |  |  |  |  |  |    |

P 20040324 US 2004-555753P US 2004-578769P Р 20040610 WO 2005-US9880 W 20050324 IN 2006CN03484 Α 20070615 IN 2006-CN3484 20060922 US 2004-555753P Ρ 20040324 W 20050324 WO 2005-US9880 CASREACT 143:387036; MARPAT 143:387036 OS ΙT 1026420-75-6 RL: PRPH (Prophetic) (Preparation of amide-substituted imidazopyridines, imidazoquinolines, and imidazonaphthyridines) 1026420-75-6 CAPLUS RN

CN 1H-Imidazo[4,5-c]quinoline-1-butanamide, 4-amino-2-methyl- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN GI

AB Title compds. [I; Z = -C(:N-OR2)- or CH-N(OR2)(YR3); X = CHR9,-CH(R9)-alk(en)ylene-, etc.; R9 = H, alkyl; R1 = H, (un)substituted alkyl, alkylene/hetero/aryl, etc.; R2, R3 = independently H, (un)substituted alk(en)yl, hetero/aryl, hetero/arylalkylenyl, etc.; Y = a bond, C:O, C:S, SO2, etc.; RA, RB = independently H, halo, alk(en)yl, etc.; RACCRB = (un)substituted fused hetero/aryl, fused 5-7-membered saturated ring], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, reacting 5-[4-Amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]pentan-2-one with NH2OH•HCl in the presence of NaBH3CN/AcOH/EtOH, and substitution with mesyl anhydride gave imidazoquinoline II (m.p. = 146-148°). Certain I may modulate cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF-α when tested in mouse cells (no data).

AN 2005:493478 CAPLUS

DN 143:43875

TI Preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases

IN Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Amos, David
T.; Zimmermann, Bernhard M.; Squire, David J.; Marszalek, Gregory J.;
Heppner, Philip D.; Kshirsaqar, Tushar A.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 305 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

|              |                           | 0050<br>W:<br>RW: | AE,<br>CN,<br>GE,<br>LK,<br>NO,<br>TJ,<br>BW,<br>AZ,<br>EE,<br>SE, | AG,<br>CO,<br>GH,<br>LR,<br>NZ,<br>TM,<br>GH,<br>BY, | CR,<br>GM,<br>LS,<br>OM,<br>TN,<br>GM,<br>KG,<br>FI,<br>SK, | CU,<br>HR,<br>LT,<br>PG,<br>TR,<br>KE,<br>KZ,<br>FR, | AT,<br>CZ,<br>HU,<br>LU,<br>PH,<br>TT,<br>LS,<br>MD,<br>GB, | DE,<br>ID,<br>LV,<br>PL,<br>TZ,<br>MW,<br>RU,<br>GR, | AZ,<br>DK,<br>IL,<br>MA,<br>PT,<br>UA,<br>MZ,<br>TJ, | DM,<br>IN,<br>MD,<br>RO,<br>UG,<br>NA,<br>TM,<br>IE, | DZ,<br>IS,<br>MG,<br>RU,<br>US,<br>SD,<br>AT,<br>IS, | , BG,<br>, EC,<br>, JP,<br>, MK,<br>, SC,<br>, UZ,<br>, SL,<br>, BE,<br>, IT, | EE,<br>KE,<br>MN,<br>SD,<br>VC,<br>SZ,<br>BG,<br>LU, | EG,<br>KG,<br>MW,<br>SE,<br>VN,<br>TZ,<br>CH,<br>MC, | ES,<br>KP,<br>MX,<br>SG,<br>YU,<br>UG,<br>CY,<br>NL, | FI<br>KR<br>MZ<br>SK<br>ZA<br>ZM<br>CZ<br>PL | , GB,<br>KZ,<br>NA,<br>SL,<br>ZM,<br>ZM,<br>DE,<br>PT, | GD,<br>LC,<br>NI,<br>SY,<br>ZW<br>AM,<br>DK,<br>RO, |
|--------------|---------------------------|-------------------|--|--|---|--|---|--|--|--|--|---|--|--|--|--|--|---|
|              | AU 2                      | 0042              | ·  | ·  | ·   | A1   |   | 2005   | 0609   | 1<br>1<br>1  | US 2<br>US 2<br>AU 2<br>US 2                         | 2003-<br>2004-<br>2004-<br>2004-<br>2003-<br>2004-                            | 5801;<br>5812;<br>2930;<br>5249;<br>5801;            | 39P<br>93P<br>96<br>61P<br>39P                       |  | P<br>P                                       | 20031<br>20040<br>20040<br>20041<br>20031<br>20040     | 616<br>618<br>124<br>125<br>616                     |
|              | CA 2                      | 5470              | )85  |  |   | A1   |   | 2005   | 0609   | 1<br>(<br>1  | WO 2<br>CA 2<br>US 2<br>US 2                         | 2004-1<br>2004-1<br>2003-1<br>2004-1<br>2004-1                                | US39<br>2547<br>5249<br>5801                         | 673<br>085<br>61P<br>39P                             |  | W<br>P<br>P                                  | 20040<br>20041<br>20041<br>20031<br>20040<br>20040     | 124<br>124<br>125<br>616                            |
|              | EP 1                      | .6869<br>R:       | AT,  |  |   |  | DK,   |  | FR,  | GB,<br>CZ,   | WO 2<br>EP 2<br>GR,<br>EE,                           | 2004-1<br>2004-1<br>2004-1<br>, IT,<br>, HU,<br>2003-1                        | US390<br>81223<br>LI,<br>PL,                         | 673<br>35<br>LU,<br>SK,                              | NL,<br>IS  | W<br>SE                                      | 20041<br>20041   | 124<br>124<br>PT,                                   |
|              | CN 1                      | 9058              | 374  |  |   | А  |   | 2007   | 0131   | 1<br>1<br>(  | US 2<br>WO 2<br>CN 2<br>US 2                         | 2004-1<br>2004-1<br>2004-1<br>2004-1<br>2003-1<br>2004-1                      | 58129<br>US390<br>80040<br>52490                     | 93P<br>673<br>0953<br>61P                            |  | P<br>W<br>P                                  | 20040<br>20040<br>20041<br>20041<br>20031<br>20040     | 618<br>124<br>124<br>125                            |
|              | JP 2                      | 0075              | 5123   | 49   |   | T  |   | 2007   | 0517   | 1<br>1<br>1  | WO 2<br>JP 2<br>US 2<br>US 2                         | 2004-1<br>2004-1<br>2006-1<br>2003-1<br>2004-1                                | US39<br>5414<br>5249<br>5801                         | 673<br>42<br>61P<br>39P                              |  | W<br>P<br>P                                  | 20040<br>20041<br>20041<br>20031<br>20040              | 124<br>124<br>125<br>616                            |
|              | US 2                      | 0070              | )0999  | 901  |   | A1   |   | 2007   | 0503   | 1<br>1<br>1  | WO 2<br>US 2<br>US 2                                 | 2004-1<br>2004-1<br>2006-1<br>2003-1<br>2004-1<br>2004-1                      | US39<br>5958<br>5249<br>5801                         | 673<br>59<br>61P<br>39P                              |  | W<br>P<br>P                                  | 20040<br>20041<br>20060<br>20031<br>20040<br>20040     | 124<br>518<br>125<br>616                            |
|              | IN 2                      | 0060              | CN018  | 847  |   | A  |   | 2007   | 0608   | 1  | WO 2<br>IN 2<br>US 2                                 | 2004-1<br>2006-0<br>2003-1<br>2004-1  | JS39<br>CN18<br>5249                                 | 673<br>47<br>61P                                     |  | W<br>P                                       | 20041<br>20060<br>20031<br>20041                       | 124<br>525<br>125                                   |
|              | ZA 2                      | 0060              | 052  | 16   |   | A  |   | 2007   | 0425   |  | ZA 2   | 2004 -<br>2006 -<br>2003 -  | 5216   |  |  |  | 20060<br>20031   | 623   |
| PATEI<br>FAN | NT FA<br>2005<br>PATE<br> | :490              | )270<br>10.  |  | ATIOI   | N:<br>KINI   |   | DATE   |  |  | APPI   | LICAT   | ION I  | NO.  |  |  | DATE   |   |

| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BC, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, EB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NA, NI, NI, NO, NZ, OM, PG, PH, PI, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BM, GH, GM, KE, LS, MM, AZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NR, SN, TD, TG  AU 2004293078 A1 20050609 AU 2004-580139P P 20040616  AU 2004293078 A1 20050609 AU 2004-253078 20041124  CA 2547020 A1 20050609 AU 2004-253078 20041124  CA 2547020 A1 20050609 CA 2004-2547020 20041124  CA 2004-580139P P 20040616  CA 2004-5 | PI |     | 2005<br>2005 |            |            |            | A2<br>A3   |                   | 2005<br>2006      | 0609<br>0511      | Ţ          | ΜO       | 2004           | -US39 | 9512       |            | 2          | 20041      | 124        |
|--|----|-----|--------------|------------|------------|------------|------------|-------------------|-------------------|-------------------|------------|----------|----------------|-------|------------|------------|------------|------------|------------|
| NO, NZ, OM, PG, PH, PI, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TM, TM, TT, TT, TJ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, NE, SN, TD, TG  US 2004-580133P P 200401616 W0 2004-2930'8   |    |     | ₩:           | CN,<br>GE, | CO,<br>GH, | CR,<br>GM, | CU,<br>HR, | AT,<br>CZ,<br>HU, | AU,<br>DE,<br>ID, | AZ,<br>DK,<br>IL, | DM,<br>IN, | DZ<br>IS | Z, EC<br>S, JP | , EE, | EG,<br>KG, | ES,<br>KP, | FI,<br>KR, | GB,<br>KZ, | GD,<br>LC, |
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|------|------------------------------|----------|----------------------|-----------------|---|----------|
| r AN | PATENT NO.                   | KIND     | DATE                 | APPLICATION NO. |   | DATE     |
| ΡΙ   | US 20070149098<br>US 7567855 | A1<br>B2 | 20070628<br>20090728 | US 2006-595959  |   | 20061113 |

OS CASREACT 143:43875; MARPAT 143:43875

IT 853010-62-5P, 4-(4-Amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)-1-phenylbutan-1-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazonaphthyridines, and imidazopyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease)

US 2005-734989P

P 20051110

RN 853010-62-5 CAPLUS

CN 1-Butanone, 4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)-1-phenyl-(CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN GI

Title compds. [I; X = alkylene optionally interrupted by one or more -0-; AB Z = C:O, -C(:O)O-, -C(OR3)2-; R1 = H, (un)substituted alkyl,alkylene/aryl, alkylene/heteroaryl; Q = 0, S; R3 = (un) substituted alkyl, alkylene/aryl, alkylene/heteroaryl; R2 = H, (un)substituted alk(en/yn)yl, hetero/aryl, alkylenealkyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused aryl ring or fused 5-7-membered saturated ring; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared by reacting 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyraldehyde (preparation given) with MeMgBr, followed by oxidation, reductive amination of the ketone, oxidation with m-CPBA/reaction with NH4OH. I have been found to induce cytokine biosynthesis by inhibiting production of tumor necrosis factor  $\text{TNF}-\alpha$  when tested on an in vitro human blood cell system (no data).

AN 2005:490270 CAPLUS

DN 143:26611

TI Preparation of oxime substituted imidazo-containing compounds, particularly imidazoquinolines, as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases

IN Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Radmer, Matthew R.; Amos, David T.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 200 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO. KIND DATE APPLICATION NO. DATE

-----PI WO 2005051317 A2 20050609 WO 2004-US39512 20041124
WO 2005051317 A3 20060511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

10595959

|    |       | GE,        | GH,<br>LR, | GM,<br>LS,        | HR,<br>LT,<br>PG, | HU,<br>LU,<br>PH, | ID,<br>LV,<br>PL, | IL,<br>MA,<br>PT, | IN,<br>MD,<br>RO,        | IS<br>MG<br>RU       | Z, EC,<br>S, JP,<br>G, MK,<br>J, SC,<br>S, UZ, | KE,<br>MN,<br>SD,        | KG,<br>MW,<br>SE,        | KP,<br>MX,<br>SG, | KR,<br>MZ, | KZ,<br>NA,<br>SL,                | GD,<br>LC,<br>NI,<br>SY,<br>ZW |
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| AU | 2004  | 2930       | 78         |                   | A1                |                   | 2005              | 0609              |                          | US<br>AU             | 2003-<br>2004-<br>2004-<br>2003-               | 5801.<br>2930            | 39P<br>78                |                   | P 2        | 20031<br>20040<br>20041<br>20031 | 616<br>124                     |
| CA | 2547  | 020        |            |                   | A1                |                   | 2005              | 0609              | ,                        | WO<br>CA             | 2004-<br>2004-<br>2004-                        | US39<br>2547             | 512<br>020               | ,                 | W 2        | 20040<br>20041<br>20041          | 124<br>124                     |
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| BR | 2004  | 0169.      | 36         |                   | A                 |                   | 2007              | 0116              | ,                        | US<br>WO             | 2004-<br>2004-<br>2004-                        | 5801<br>US39             | 39P<br>512               |                   | P 2<br>W 2 | 20040<br>20041<br>20041          | 616<br>124                     |
|    |       |            |            |                   |                   |                   |                   |                   |                          | US                   | 2003-<br>2004-<br>2004-                        | 5801                     | 39P                      |                   | P 2        | 20031<br>20040<br>20041          | 616                            |
| CN | 1926  | 138        |            |                   | A                 |                   | 2007              | 0307              |                          | US<br>US             | 2004-<br>2003-<br>2004-                        | 5249<br>5801             | 61P<br>39P               |                   | P 2        | 20041<br>20031<br>20040          | 125<br>616                     |
| JP | 2007  | 5123       | 70         |                   | Τ                 |                   | 2007              | 0517              |                          | JP<br>US             | 2004-1<br>2006-1<br>2003-1                     | 5416<br>5249             | 97<br>61P                |                   | 2<br>P 2   | 20041<br>20041<br>20031          | 124<br>125                     |
| SG | 1482  | 01         |            |                   | A1                |                   | 2008              | 1231              | ;                        | WO<br>SG             | 2004-<br>2004-<br>2008-<br>2003-               | US39<br>8728             | 512                      | ;                 | W 2        | 20040<br>20041<br>20041<br>20031 | 124<br>124                     |
| MX | 2006  | 0059       | 10         |                   | А                 |                   | 2006              | 0823              |                          | US<br>MX             | 2004-<br>2006-<br>2003-                        | 5801.<br>5910            | 39P                      |                   | P 2        | 20040                            | 616<br>524                     |
| IN | 20060 | CN01       | 848        |                   | A                 |                   | 2007              | 0608              | ,                        | US<br>WO             | 2004-<br>2004-<br>2006-                        | 5801.<br>US39.           | 39P<br>512               |                   | P 2<br>W 2 | 20040<br>20041<br>20060          | 616<br>124                     |
| KR | 2006: | 1258       | 18         |                   | А                 |                   | 2006              | 1206              | •                        | WO                   | 2003-<br>2004-<br>2006-                        | US39                     | 512                      |                   | W 2        | 20031<br>20041<br>20060          | 124                            |
|    |       |            |            |                   |                   |                   |                   |                   |                          | US                   | 2003-<br>2004-<br>2004-                        | 5801                     | 39P                      |                   | P 2        | 20031<br>20040<br>20041          | 125<br>616                     |
|    | 2006  |            |            | ת דירות ת         | A                 |                   | 2007              | 0425              |                          | ZA                   | 2006-<br>2003-                                 | 5216                     |                          |                   | 2          | 20060<br>20031                   | 623                            |

PATENT FAMILY INFORMATION: FAN 2005:493478

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|     |               | 0007  | 0000 | 0.01 |     | 7. 1     |     | 0007         | 0 - 0 0 |       |      |       | -US39          |      |     |       | 20041          |     |
|     | US            | 2007  | 0099 | 901  |     | A1       |     | 2007         | 0503    |       |      |       | -5958<br>-5249 |      |     |       | 20060<br>20031 |     |
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|     | IN            | 2006  | CN01 | 847  |     | А        |     | 2007         | 0608    |       |      |       | -CN18          |      |     |       | 20060          |     |
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|     | ΖA            | 2006  | 0052 | ΤР   |     | A        |     | 2007         | U425    |       |      |       | -5216<br>-5249 |      |     |       | 20060<br>20031 |     |
| FAN | 200           | 2007:705671   |      |      |     |          |     |              |         |       | υĎ   | 2003  | .045           | OIL  |     | T. 7  | -UUJI          | 140 |

|    | PATENT NO.                   | KIND     | DATE                 | APPLICATION NO.   | DATE     |
|----|------------------------------|----------|----------------------|-------------------|----------|
|    |                              |          |                      |                   |          |
| ΡI | US 20070149098<br>US 7567855 | A1<br>B2 | 20070628<br>20090728 | US 2006-595959    | 20061113 |
|    | 05 7507055                   | 102      | 20090720             | US 2005-734989P F | 20051110 |

OS CASREACT 143:26611; MARPAT 143:26611

IT 1045444-34-5

RL: PRPH (Prophetic)

(Preparation of oxime substituted imidazo-containing compounds, particularly imidazoquinolines, as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases)

RN 1045444-34-5 CAPLUS

CN 4-Decanone, 10-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-methyl- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AB Pharmaceutical formulations in an aqueous (preferably, sprayable) formulation including an immune response modifier (IRM), such as those chosen from imidazoquinoline amines, tetrahydroimidazoquinoline amines, imidazopyridine amines, 6,7-fused cycloalkylimidazopyridine amines, 1,2-bridged imidazoquinoline amines, imidazonaphthyridine amines, imidazotetrahydronaphthyridine amines, oxazoloquinoline amines, thiazoloquinoline amines, oxazolopyridine amines, thiazolopyridine amines, oxazolonaphthyridine amines, thiazolonaphthyridine amines, and 1H-imidazo dimers fused to pyridine amines, quinoline amines, tetrahydroquinoline amines, naphthyridine amines, or tetrahydronaphthyridine amines, are provided. In one embodiment, the aqueous formulations are advantageous for treatment and/or prevention of allergic rhinitis, viral infections, sinusitis, and asthma. For example,

N-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl] methanesulfonamide (IRM 1) was prepared as a 0.375% aqueous solution

capable of being nasally administered via a spray pump. The solution contained IRM 1 0.375%, CM-cellulose sodium 0.1%, benzalkonium chloride 0.02%, disodium EDTA 0.1%, L-lactic acid 1.53%, PEG 400 15%, 1N NaOH as needed for pH 4.0, and water to 100%. The IRM 1 solution (50  $\mu L)$  administered to rats once 4 h before infection with humanized, non-lethal influenza virus, almost completely suppressed the virus. titer.

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2005:160991 CAPLUS
ΑN
      142:246181
DN
      Formulations containing an amine-based immune response modifier
ΤI
      Hammerbeck, David M.; Guy, Cynthia A.; Leung, Suzanne S.
IN
PA
      3M Innovative Properties Company, USA
SO
      PCT Int. Appl., 118 pp.
      CODEN: PIXXD2
DT
      Patent
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      PATENT NO.
                        KIND DATE APPLICATION NO.
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PΙ
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                                       WO 2004-US25241
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845638-60-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (solns. containing amine-based immunomodulators)
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MeO O Me-N-C-(CH<sub>2</sub>)3

845638-60-0 CAPLUS

1H-Imidazo[4,5-c]quinoline-1-butanamide,

4-amino-N-methoxy-N-methyl-2-propyl- (CA INDEX NAME)

ΙT

RN

CN

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT